

12

10 / 075,073

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NEWS 4 SEP 01 New pricing for the Save Answers for SciFinder Wizard within
STN Express with Discover!
NEWS 5 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 6 SEP 27 STANDARDS will no longer be available on STN
NEWS 7 SEP 27 SWETSCAN will no longer be available on STN
NEWS 8 OCT 28 KOREAPAT now available on STN
NEWS 9 NOV 18 Current-awareness alerts, saved answer sets, and current
search transcripts to be affected by CERAB, COMPUAB, ELCOM,
and SOLIDSTATE reloads
NEWS 10 NOV 30 PHAR reloaded with additional data
NEWS 11 DEC 01 LISA now available on STN

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	0.21	TOTAL SESSION	0.21
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3
DICTIONARY FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3

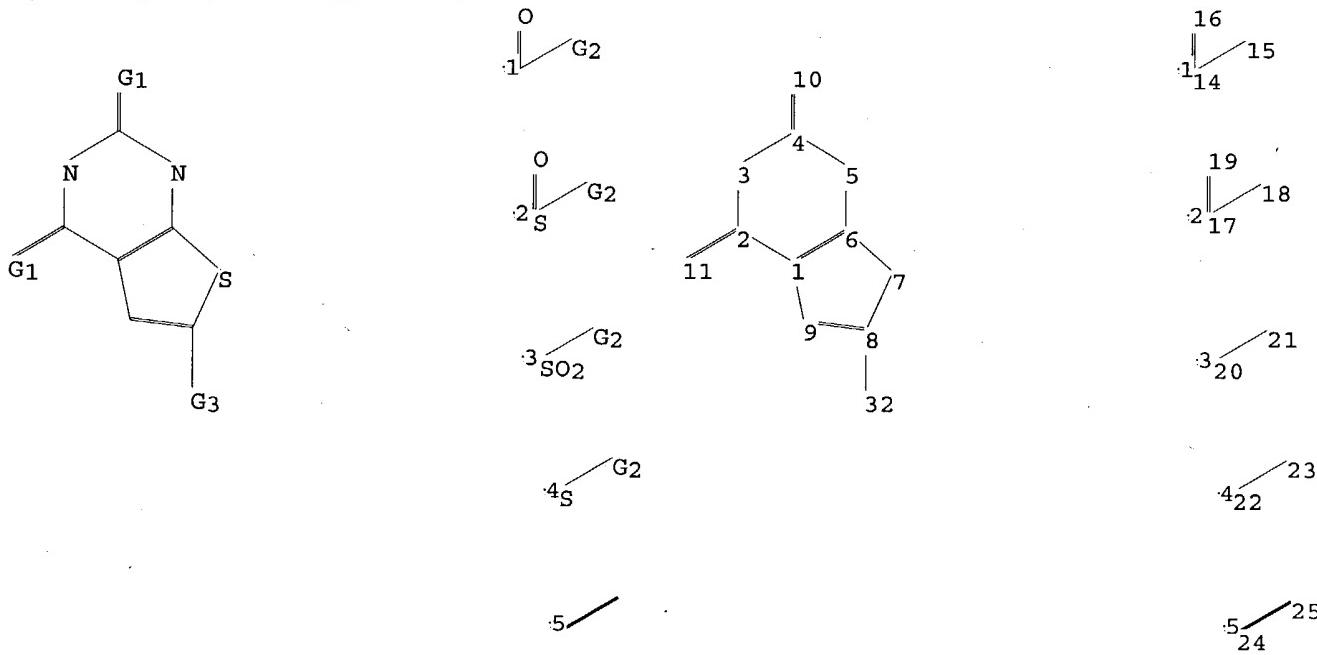
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\STNEXP4\QUERIES\10075073a.str



chain nodes :

10 11 14 15 16 17 18 19 20 21 22 23 24 25 32
ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

2-11 4-10

ring bonds :

1-2 1-6 1-9 2-

exact/norm bonds : 1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 8-32 14-15 14-16 17-18 17-19 20-21 22-23

exact bonds :

1-9 6-7 7-8 8-9 24-25

isolated ring systems ::

containing 1 :

G1:O, S

10/ 075,073

containing 1 :

G1:O,S

G2:O,N

G3:[*1], [*2], [*3], [*4], [*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 34:CLASS

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

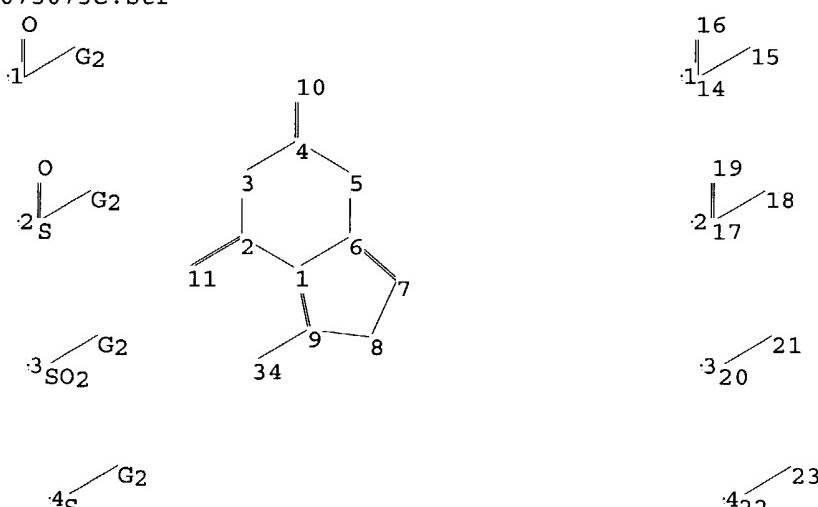
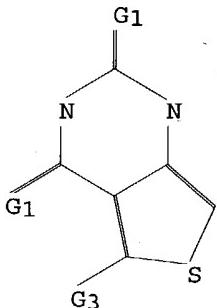
L2 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\STNEXP4\QUERIES\10075073c.str



4S G2

422 23

5

524 25

chain nodes :

10 11 14 15 16 17 18 19 20 21 22 23 24 25 34

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

2-11 4-10 9-34 14-15 14-16 17-18 17-19 20-21 22-23 24-25

ring bonds :

1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9

10/ 075,073

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 9-34 14-15 14-16 17-18 17-19 20-21 22-23

exact bonds :

1-9 6-7 7-8 8-9 24-25

isolated ring systems :

containing 1 :

G1:O,S

G2:O,N

G3:[*1], [*2], [*3], [*4], [*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 34:CLASS

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

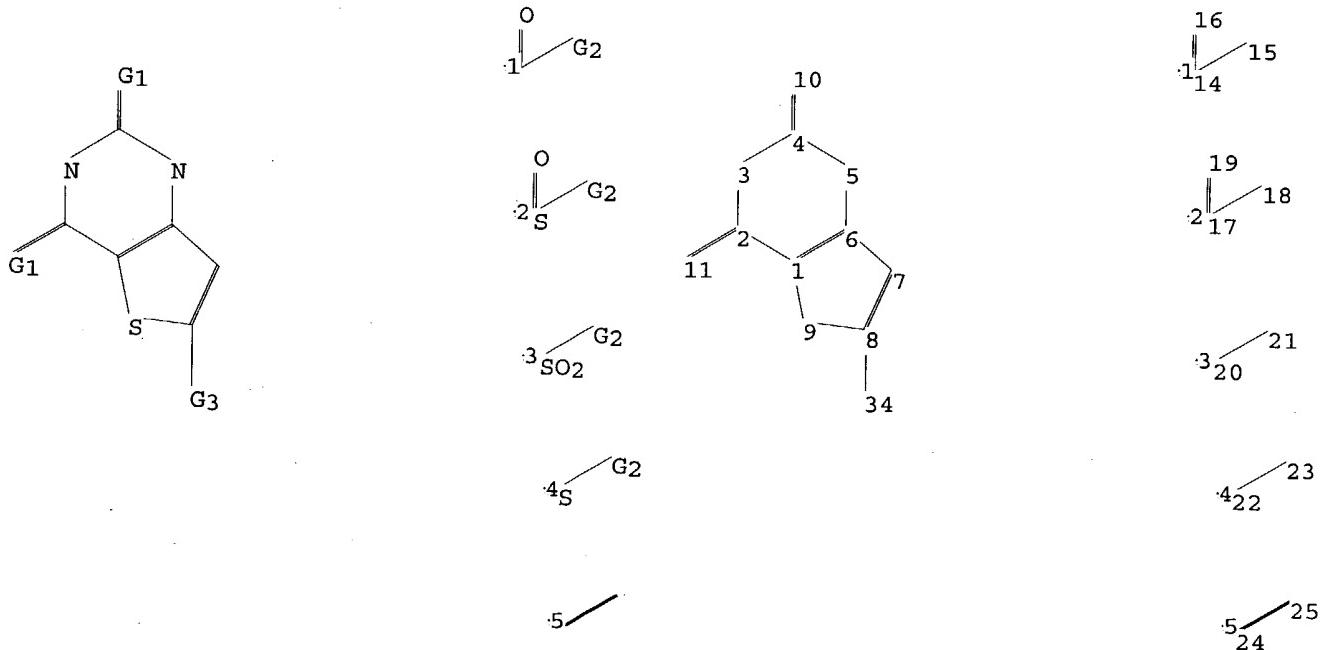
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\STNEXP4\QUERIES\10075073d.str



chain nodes :

10 11 14 15 16 17 18 19 20 21 22 23 24 25 34

ring nodes :

10/ 075,073

1 2 3 4 5 6 7 8 9

chain bonds :

2-11 4-10 8-34 14-15 14-16 17-18 17-19 20-21 22-23 24-25

ring bonds :

1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 8-34 14-15 14-16 17-18 17-19 20-21 22-23

exact bonds :

1-9 6-7 7-8 8-9 24-25

isolated ring systems :

containing 1 :

G1:O,S

G2:O,N

G3:[*1], [*2], [*3], [*4], [*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 34:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 11:04:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1549 TO ITERATE

100.0% PROCESSED 1549 ITERATIONS

750 ANSWERS

SEARCH TIME: 00.00.01

L5 750 SEA SSS FUL L1

=> s 12 ful

FULL SEARCH INITIATED 11:04:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L2

=> s 13 ful

FULL SEARCH INITIATED 11:04:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

10/ 075,073

L7 0 SEA SSS FUL L3

=> s 14 ful
FULL SEARCH INITIATED 11:04:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

L8 13 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
621.68 621.89

FILE 'CAPLUS' ENTERED AT 11:04:35 ON 06 DEC 2004
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FILE LAST UPDATED: 5 Dec 2004 (20041205/ED)

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(FILE 'HOME' ENTERED AT 11:02:17 ON 06 DEC 2004)

FILE 'REGISTRY' ENTERED AT 11:02:26 ON 06 DEC 2004
L1 STRUCTURE uploaded
L2 STRUCTURE uploaded
L3 STRUCTURE uploaded
L4 STRUCTURE uploaded
L5 750 S L1 FUL
L6 0 S L2 FUL
L7 0 S L3 FUL
L8 13 S L4 FUL

FILE 'CAPLUS' ENTERED AT 11:04:35 ON 06 DEC 2004

=> s 15
L9 22 L5

=> s 18
L10 5 L8

=> s 15 not 18
22 L5

10/ 075,073

5 L8

L11 18 L5 NOT L8

=> d 110 1- ibib abs fhitstr

YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:143158 CAPLUS

DOCUMENT NUMBER: 140:193101

TITLE: Pyrimidine fused bicyclic metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use

INVENTOR(S): Wilson, Michael William

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

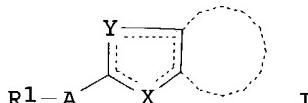
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014916	A1	20040219	WO 2003-IB3570	20030804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004038994	A1	20040226	US 2003-634290	20030805
PRIORITY APPLN. INFO.:			US 2002-403007P	P 20020813

OTHER SOURCE(S): MARPAT 140:193101

GI



AB The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines = optional double bonds; B = substituted pyrimidinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer, and other disorders.

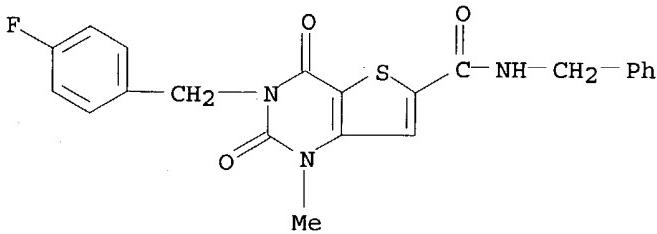
IT 660819-52-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrimidine fused bicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

RN 660819-52-3 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxamide, 3-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-1-methyl-2,4-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637683 CAPLUS

DOCUMENT NUMBER: 137:185504

TITLE: Preparation of thieno[2,3-d]pyrimidindiones as matrix metalloproteinase inhibitors for treatment of cancer, rheumatoid arthritis, and osteoarthritis

INVENTOR(S): Harter, William Glen; Li, Jie Jack; Ortwine, Daniel

Fred; Shuler, Kevon Ray; Yue, Wen-song

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 278 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

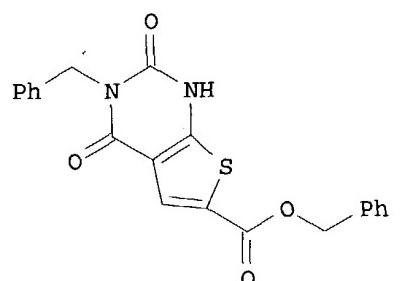
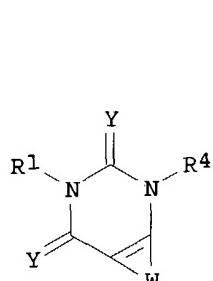
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

*Preprint
Version*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064598	A1	20020822	WO 2002-IB204	20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433778	AA	20020822	CA 2002-2433778	20020118
EP 1370562	A1	20031217	EP 2002-711123	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007216	A	20040309	BR 2002-7216	20020118
JP 2004518732	T2	20040624	JP 2002-564529	20020118
US 2003004172	A1	20030102	<u>US 2002-75073</u>	20020213
PRIORITY APPLN. INFO.:			US 2001-268756P	P 20010214
			WO 2002-IB204	W 20020118

OTHER SOURCE(S): MARPAT 137:185504
GI



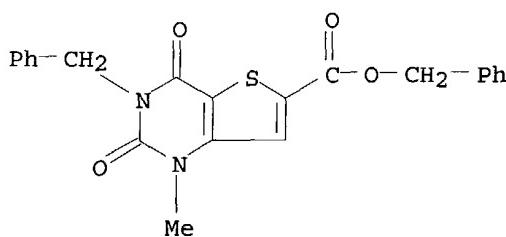
AB Title fused pyrimidinones I [wherein C2W = 5-membered (hetero)cyclic diradical substituted with ABR3 and optionally substituted with R2; A = CO or SOO-2; B = O or NR5; or AB = C.tpbond.C; R1, R4, and R5 = independently H, alkyl, alkenyl, alkynyl, (CH2)n-(hetero)aryl, (CH2)n-cycloalkyl, (CH2)n-heterocyclyl, or alkanoyl; R2 and R3 = independently H, alkyl, alkenyl, alkynyl CN, NO2, NR4R5, (CH2)n-cycloalkyl, or (CH2)n-(hetero)aryl; or R2 = halo; n = 0-5; or NR4R5 = (un)substituted heterocyclyl; with the proviso that R1 and R3 ≠ both H or alkyl; or pharmaceutically acceptable salts thereof] were prepared as matrix metalloproteinase (MMP) inhibitors, especially as selective MMP-13 inhibitors. For example, 3-benzyl-6-chloro-1H-pyrimidine-2,4-dione was coupled with mercaptoacetic acid Et ester using Na2CO3 in EtOH (67%) and the product cyclized with POCl3 in anhydrous DMF to give 3-benzyl-2,4-dioxo-1,2,3,4-tetrahydrothieno[2,3-d]pyrimidine-6-carboxylic acid Et ester (95%). Saponification (96%) followed by esterification with benzyl alc. and 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate afforded II (12%). The latter selectively inhibited the hydrolytic activity of MMP-13 (0.61 μM) over MMP-1 (100 μM), MMP-2 (100 μM), MMP-3 (18 μM), MMP-7 (100 μM), MMP-9 (100 μM), MMP-12 (100 μM), and MMP-14 (100 μM) with the indicated IC50 values. I are useful for the treatment of diseases mediated by the MMP-13 enzyme, such as cancer, rheumatoid arthritis, or osteoarthritis (no data). Formulations of I are also disclosed.

IT 448968-71-6P, 1-Methyl-3-benzyl-2,4-dioxo-1,2,3,4-tetrahydrothieno[3,2-d]pyrimidine-6-carboxylic acid benzyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MMP inhibitor; preparation of thienopyrimidinediones as MMP inhibitors for treatment of cancer, rheumatoid arthritis, and osteoarthritis)

RN 448968-71-6 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1-methyl-2,4-dioxo-3-(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 075,073

L10 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:334737 CAPLUS

DOCUMENT NUMBER: 135:107300

TITLE: Structure-Activity Studies for a Novel Series of Bicyclic Substituted Hexahydrobenz[e]isoindole α 1A Adrenoceptor Antagonists as Potential Agents for the Symptomatic Treatment of Benign Prostatic Hyperplasia

AUTHOR(S): Meyer, Michael D.; Altenbach, Robert J.; Bai, Hao; Basha, Fatima Z.; Carroll, William A.; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund; Pratt, John K.; Sippy, Kevin B.; Tietje, Karin; Wendt, Michael D.; Brune, Michael E.; Buckner, Steven A.; Hancock, Arthur A.; Drizin, Irene

CORPORATE SOURCE: Neurological and Urological Diseases Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(12), 1971-1985

CODEN: JMCMAR; ISSN: 0022-2623

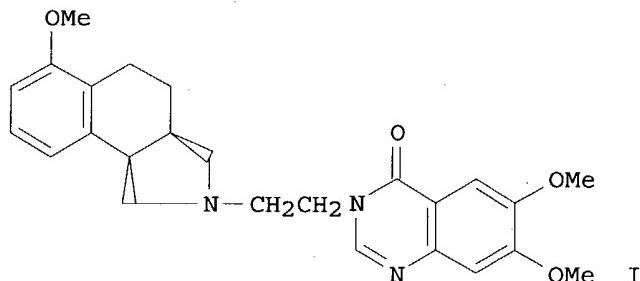
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:107300

GI



AB In search of a uroselective α 1A subtype selective antagonist, a novel series of 6-methoxyhexahydrobenz[e]isoindoles attached to a bicyclic heterocyclic moiety via a two-carbon linker was synthesized. It was found that in contrast to a previously described series of tricyclic heterocycles, this bicyclic series has very specific requirements for the heterocyclic attachments. The most important structural features contributing to the α 1A/ α 1B selectivity of these compds. were identified. In vitro functional assays for the α 1 adrenoceptor subtypes were used to further characterize the most selective compds., and in vivo models of vascular vs prostatic tone were used to assess uroselectivity. The quinazolinone I showed the highest degree of selectivity in the radioligand binding assays (56-fold), in the in vitro functional tests (80-fold), and for in vivo prostate selectivity (960-fold).

IT 181433-86-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity studies of bicyclic-substituted hexahydrobenz[e]isoindole α 1A adrenoceptor antagonists)

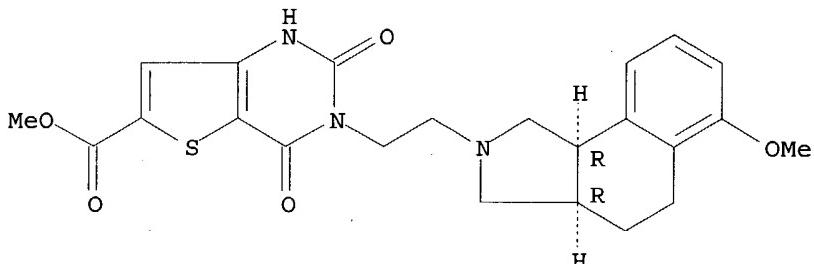
RN 181433-86-3 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-1,2,3,4-tetrahydro-2,4-

10/ 075,073

dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:542760 CAPLUS

DOCUMENT NUMBER: 129:161567

TITLE: Preparation of bicyclic-substituted hexahydrobenz[e]isoindoles as α_1 adrenergic antagonists

INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Pratt, John K.; Sippy, Kevin B.; Tietje, Karin R.; Yamamoto, Diane M.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S., 42 pp., Cont.-in-part of U. S. 5,521,181.

CODEN: USXXAM

DOCUMENT TYPE: Patent

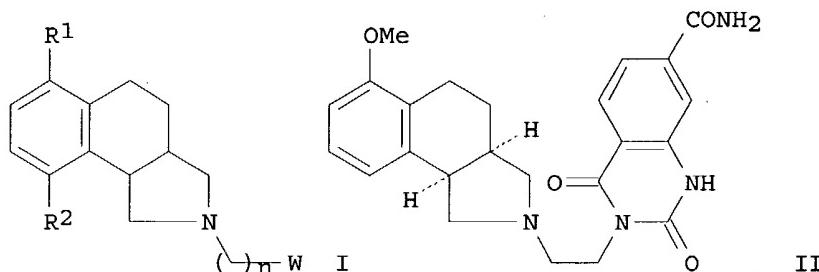
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5792767	A	19980811	US 1995-465476	19950605
US 5521181	A	19960528	US 1995-379823	19950127
CA 2210966	AA	19960801	CA 1996-2210966	19960111
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 6946111	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE JP 11501616	T2	19990209	JP 1996-522872	19960111
ES 2159721	T3	20011016	ES 1996-903364	19960111
PT 805812	T	20011130	PT 1996-903364	19960111
GR 3036560	T3	20011231	GR 2001-401414	20010906
PRIORITY APPLN. INFO.:			US 1995-379823 US 1995-465476 WO 1996-US178	A2 19950127 A 19950605 W 19960111

OTHER SOURCE(S): MARPAT 129:161567



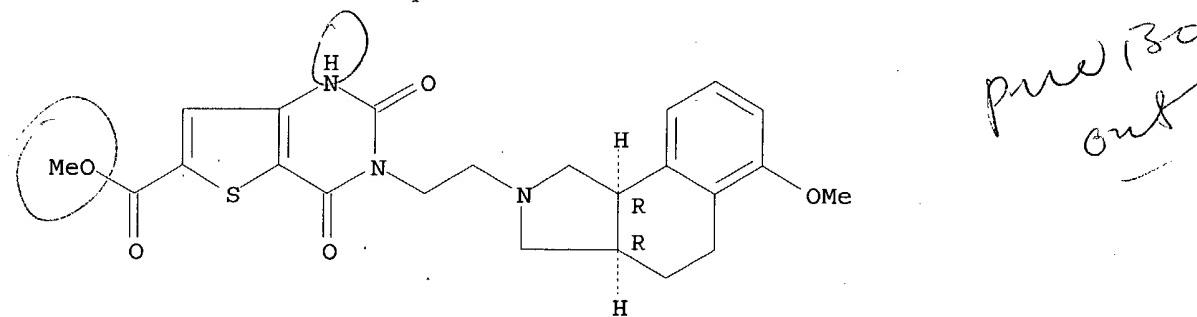
AB The invention relates to compds. I [R1, R2 = H, alkyl, alkoxy, OH, halo, CO₂H, and alkoxy carbonyl; n = 2-6; W = certain 5,6-carbo- or 5,6-heterocycle-fused 2,4(1H,3H)-pyrimidinedione or 4(3H)-pyrimidinone groups, bound at the pyrimidine 3-position] and their pharmaceutically acceptable salts. The compds. are α_1 -adrenergic antagonists, and are useful in the treatment of benign prostatic hyperplasia (BPH). Also disclosed are α_1 -antagonist compns., and a method for antagonizing α_1 receptors and treating BPH, optionally including use of a 5 α -reductase inhibitor such as finasteride. For instance, Me 2-amino-4-carbamylbenzoate was treated with triphosgene to give an isocyanate, which was cyclized with (3aR,9bR)-2-(2-aminoethyl)-6-methoxy-2,3,3a,4,5,9b-hexahydro-1H-benz[e]isoindole to give title compound II, isolated as the HCl salt. The latter bound strongly (0.058 nM) to bovine α_1 adrenoceptors in vitro.

IT 181433-86-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of bicyclic substituted hexahydrobenz[e]isoindoles as α_1 -adrenergic antagonists)

RN 181433-86-3 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-1,2,3,4-tetrahydro-2,4-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



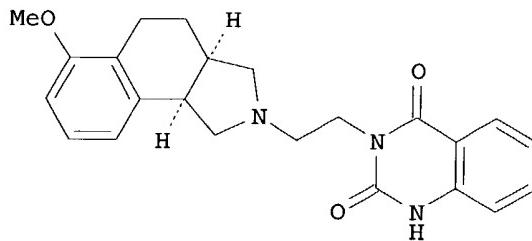
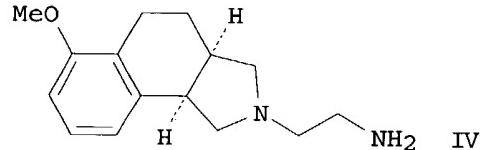
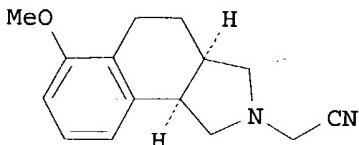
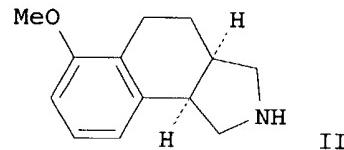
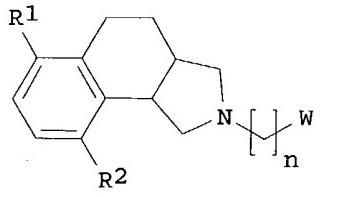
● HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1996:580284 CAPLUS
 DOCUMENT NUMBER: 125:247845
 TITLE: Preparation of heterocyclyl-substituted
 benz[e]isoindoles as α_1 adrenergic antagonists
 INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Pratt, John K.; et al.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 123 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5521181	A	19960528	US 1995-379823	19950127
US 5792767	A	19980811	US 1995-465476	19950605
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 694611	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11501616	T2	19990209	JP 1996-522872	19960111
GR 3036560	T3	20011231	GR 2001-401414	20010906
PRIORITY APPLN. INFO.:			US 1995-379823	A 19950127
			US 1995-465476	A 19950605
			WO 1996-US178	W 19960111

OTHER SOURCE(S): MARPAT 125:247845
 GI



2/2

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AB The title compds. [I; R₁, R₂ = H, C1-6 alkyl, OH, etc.; W = (substituted) quinazolinyl, thieno[3,2-d]pyrimidinyl, thieno[2,3-d]pyrimidinyl, etc.; n = 2-6], useful in the treatment of benign prostatic hyperplasia (BPH), were prepared. Thus, reaction of benz[e]isoindole II with ClCH₂CN in the presence of EtN(i-Pr)₂ in MeCN followed by treatment of the intermediate III with LiAlH₄/THF and reaction of amine IV with 2-(EtOCO)C₆H₄NCO in PhMe afforded the desired product cis-V.HCl which showed pA₂ of 8.49 for inhibition of phenylephrine(PE)-induced contraction of rat vas.

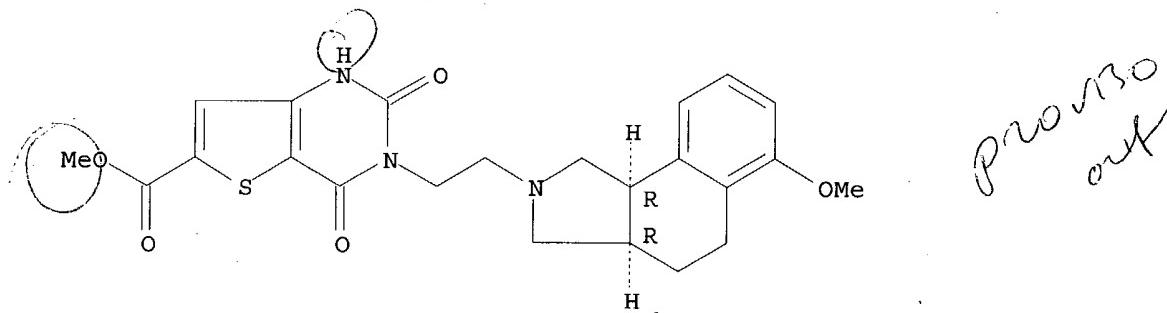
IT 181433-86-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-substituted benz[e]isoindoles as α 1 adrenergic antagonists)

RN 181433-86-3 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-1,2,3,4-tetrahydro-2,4-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> d l11 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 18 ANSWERS - CONTINUE? Y/(N):y

L11 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:402474 CAPLUS
DOCUMENT NUMBER: 141:157081
TITLE: Synthesis of Substituted Thienopyrimidine-4-ones
AUTHOR(S): Ivachtchenko, Alexandre; Kovalenko, Sergiy; Tkachenko, Olena V.; Parkhomenko, Oleksiy
CORPORATE SOURCE: Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Combinatorial Chemistry (2004), 6(4), 573-583
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The parallel solution-phase synthesis of more than 3000 substituted thienopyrimidin-4-ones has been accomplished. Key reactions include assembly of the 2-thioxopyrimidin-4-one ring by condensation of isomeric aminothiophenecarboxylates or their appropriate reactive derivs. (isothiocyanates or dithiocarbamates) with isothiocyanates or amines. The libraries from libraries were then obtained in good yields and purities

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using solution-phase alkylation and acylation methodologies. Simple manual techniques for parallel reactions using special CombiSyn synthesizers were coupled with easy purification procedures (crystallization from the reaction mixts.)

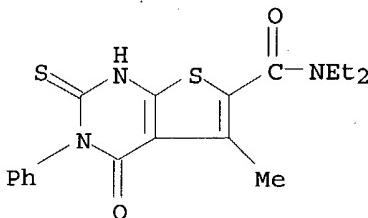
to give high-purity final products. The scope and limitations of the developed approach are discussed.

IT 309733-15-1P

RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMPI (Combinatorial study); PREP (Preparation)
(solution-phase parallel synthesis of substituted thienopyrimidine-4-ones)

RN 309733-15-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxamide, N,N-diethyl-1,2,3,4-tetrahydro-5-methyl-4-oxo-3-phenyl-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:143114 CAPLUS

DOCUMENT NUMBER: 140:193098

TITLE: Matrix metalloproteinase (MMP) inhibitors, pharmaceutical compositions, therapeutic use, and methods for identification of lead compounds

INVENTOR(S): Wrigglesworth, Roger; Andrianjara, Charles; Dublanchet, Anne-Claude; Bertrand, Claude

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014867	A2	20040219	WO 2002-GB3728	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2004014381	A2	20040219	WO 2003-GB3488	20030807
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004171543 A1 20040902 US 2003-637942 20030807

WO 2002-GB3728 A 20020813

PRIORITY APPLN. INFO.:

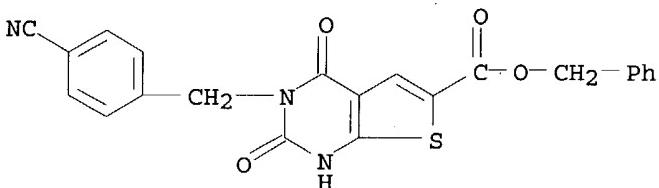
AB The invention discloses compds. that are selective inhibitors of MMPs, pharmaceutical compns. containing the, and their use in the prevention and treatment of MMP-associated diseases (e.g. arthritis, pulmonary diseases). The invention also discloses methods for the identification of lead compds. that are selective inhibitors of MMPs. Compound preparation is described.

IT 448965-56-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (matrix metalloproteinase inhibitors, pharmaceutical compns., therapeutic use, and methods for identification of lead compds.)

RN 448965-56-8 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-[(4-cyanophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:811002 CAPLUS

DOCUMENT NUMBER: 140:235673

TITLE: A novel synthesis of thieno-pyrimidines using inorganic solid support

AUTHOR(S): Kidwai, M.; Mishra, A. D.

CORPORATE SOURCE: Department of Chemistry, University of Delhi, Delhi, 110 007, India

SOURCE: Bulletin of the Korean Chemical Society (2003), 24(7), 1038-1040

PUBLISHER: Korean Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

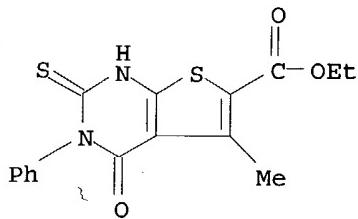
AB Novel 5-methyl-6-ethylcarboxylate-2-thioxo-thieno[3,2-d]pyrimidine-4(1H)-ones are prepared from 2-amino-3,5-diethyl carboxylate-4-methyl-thiophene and monosubstituted thioureas using microwave technol. under the solid support of K2CO3.

IT 666855-23-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of thieno-pyrimidines from thiophenes and thioureas using microwave irradiation and an inorg. solid support)

RN 666855-23-8 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-5-methyl-4-oxo-3-phenyl-2-thioxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:511310 CAPLUS

DOCUMENT NUMBER: 139:85360

TITLE: Preparation of 4-oxo-4H-thieno[2,3-d][1,3]oxazine derivatives as pancreatic lipase inhibitors for treatment of obesity or diabetes

INVENTOR(S): Witter, David; Castelhano, Arlindo L.

PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 176 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

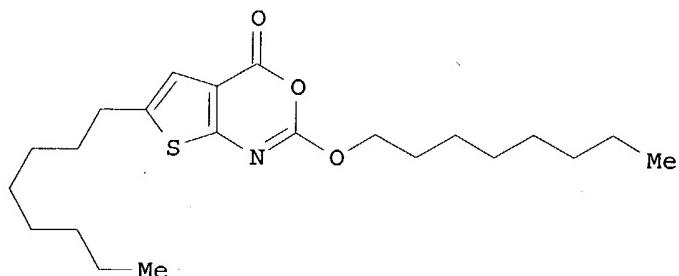
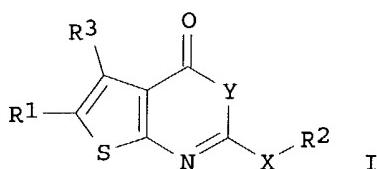
PATENT INFORMATION:

WJ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053944	A1	20030703	WO 2002-US41272	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195199	A1	20031016	US 2002-326302	20021220
BR 2002015080	A	20041005	BR 2002-15080	20021220
EP 1467978	A1	20041020	EP 2002-805675	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-342617P	P 20011220
			US 2002-357015P	P 20020213
			WO 2002-US41272	W 20021220

OTHER SOURCE(S): MARPAT 139:85360

GI

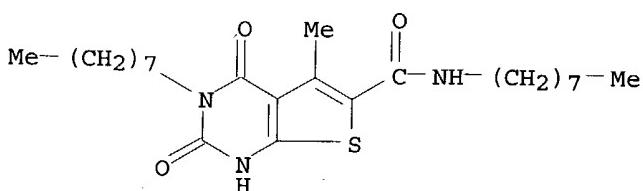


AB The title compds. I [wherein X = O, S, CH₂, or NR₅; Y = O or S; R₁ = H, (un)substituted alkyl(aryl), CO₂R₄, CONR₄R₅, CR₆R₁₀OR₄, CR₆R₁₀OCOR₄, CR₆R₁₀OCONHR₇, CONR₈R₉, NR₅CONHRS, or CH₂R₄; R₂ = (un)substituted alkyl, aryl, alkylaryl, (hetero)arylalkyl, or cycloalkyl; R₃ = H or (un)substituted (cyclo)alkyl; R₄ = H, (un)substituted alkyl, aryl, CH₂-aryl, (hetero)arylalkyl, or cycloalkyl; R₅ = H, (un)substituted alkyl, (hetero)arylalkyl, or cycloalkyl; R₆ and R₁₀ = independently H or (un)substituted (cyclo)alkyl; or R₆ and R₁₀ together form a ring; R₇ = H or (un)substituted (cyclo)alkyl; R₈ and R₉ = independently H, (un)substituted alkyl, alkoxy, or alkylaryl; or NR₈R₉ together form a substituted piperazine ring, a piperidine ring, or a dihydro-1H-isoquinoline ring] and specific enantiomers, specific tautomers, and pharmaceutically acceptable salts thereof are prepared. For example, the compound II was prepared in a multi-step synthesis. II showed 96.13% inhibitory activity against pancreatic lipase. I are useful for the treatment of diabetes or obesity (no data).

IT **554440-56-1P**
RL: PAC (Pharmacological activity); **SPN:** (Synthetic preparation); **THU:** (Therapeutic use); **BIOL:** (Biological study); **PREP:** (Preparation); **USES:** (Uses)
 (drug candidate; preparation of thienooxazine derivs. as pancreatic lipase inhibitors for treatment of obesity or diabetes)

RN 554440-56-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxamide, 1,2,3,4-tetrahydro-5-methyl-N,3-diethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



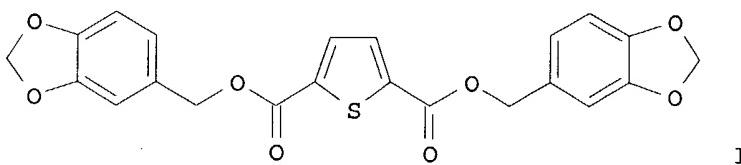
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:201515 CAPLUS
 DOCUMENT NUMBER: 138:238166

TITLE: Preparation of heteroaryldicarboxylates as matrix metalloproteinase inhibitors
 INVENTOR(S): Sorenson, R.
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA
 SOURCE: Eur. Pat. Appl., 75 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1291345	A1	20030312	EP 2002-255922	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003087924	A1	20030508	US 2002-224234	20020820
JP 2003128672	A2	20030508	JP 2002-258117	20020903
CA 2401358	AA	20030310	CA 2002-2401358	20020905
BR 2002003644	A	20030603	BR 2002-3644	20020905
PRIORITY APPLN. INFO.:			US 2001-318488P	P 20010910
OTHER SOURCE(S):	MARPAT	138:238166		

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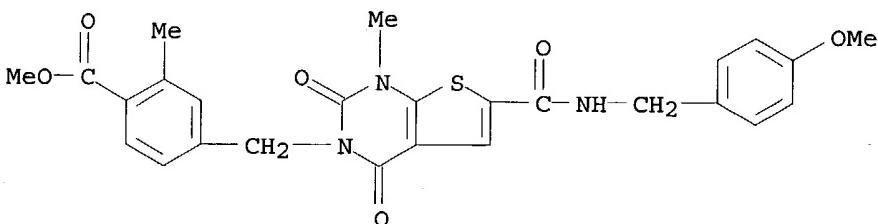
AB G1(CR1R2)nQ1BQ2(CR3R4)mG2 [G1, G2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, Ph, naphthyl, heteroaryl; R1-R4 = H, Me, cyano, F; R1R2C, R3R4C = CO; n, m, = 1-3; Q1 = X1CO, COX2, X1COX2; Q2 = X3CO, COX4, X3COX4; X1-X4 = O, NH; B = (substituted) imidazolyl, pyrazolyl, furyl, thieryl, pyrrolyl, etc.], were prepared. Thus, 2,5-thiophenedicarboxylic acid and 3,4-methylenedioxybenzyl chloride were stirred 24 h in DMF to give 2,5-thiophenedicarboxylic acid di-1,3-benzodioxol-5-ylmethyl ester (I). I inhibited MMP-13CD with IC50 = 8.6 µM. A tablet formulation containing I is given.

IT 448967-25-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heteroaryldicarboxylates as matrix metalloproteinase inhibitors)

RN 448967-25-7 CAPLUS

CN Benzoic acid, 4-[[1,4-dihydro-6-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1-methyl-2,4-dioxothieno[2,3-d]pyrimidin-3(2H)-yl]methyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



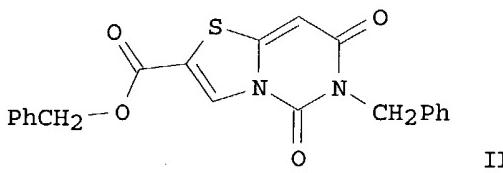
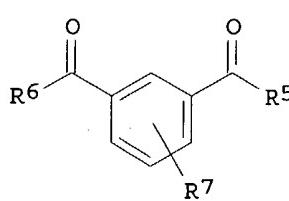
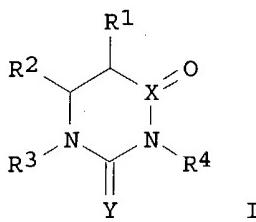
10/ 075,073

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637472 CAPLUS
DOCUMENT NUMBER: 137:201321
TITLE: Preparation of substituted isophthalic acid derivatives, multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors
INVENTOR(S): Andrianjara, Charles; Ortwine, Daniel Fred; Pavlovsky, Alexander Gregory; Roark, William Howard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064080	A2	20020822	WO 2002-IB447	20020213
WO 2002064080	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437643	AA	20020822	CA 2002-2437643	20020213
US 2003078276	A1	20030424	US 2002-75069	20020213
EP 1361873	A2	20031119	EP 2002-710275	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007864	A	20040309	BR 2002-7864	20020213
JP 2004529874	T2	20040930	JP 2002-563877	20020213
PRIORITY APPLN. INFO.:			US 2001-268821P	P 20010214
			WO 2002-IB447	W 20020213

GI

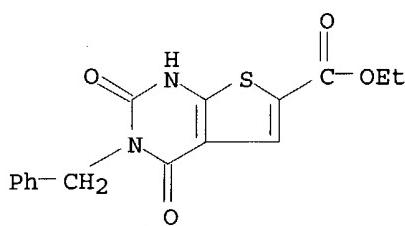


AB Title compds., I [R1 and R2 together may form a substituted aromatic ring or a heterocyclic ring; or R2 and R3 together may form substituted heterocycle; or R1, R3, or R4 = alkyl, arylalkyl, etc.; X = C, S; Y = O, N with provision when Y = N it forms a 5-membered heterocycle with R3] and II [R5, R6 = arylalkylamine, heterocyclalkoxy, etc.; R7 = H, MeO, NO₂, etc.], are prepared and disclosed as matrix metalloproteinase (MMP) inhibitors. Thus, III was prepared in five steps via cyclocondensation of diethylmalonate and benzylurea with subsequent chlorination, substitution with hydrosulfide hydrate to form an in situ intermediate that was reacted with bromoacetaldehyde dimethylacetal, followed by acid catalyzed cyclization and substitution with benzylchloroformate. III was demonstrated to inhibit MMP13 with an IC₅₀ value (in μM) of 0.0230. I and II bind allosterically to the catalytic domain of MMP-13 and comprise a hydrophobic group, first and second hydrogen bond acceptors and at least one, and preferably both, of a third hydrogen bond acceptor and a second hydrophobic group. Cartesian coordinates for centroids of the above features are defined in the specification. When the ligand binds to MMP-13, the first, second and third (when present) hydrogen bond acceptors bond resp. with Thr245, Thr247 and Met 253, the first hydrophobic group locates within the S1' channel of MMP-13 and the second hydrophobic group (when present) is relatively open to solvent. The compds. specifically inhibit the matrix metalloproteinase-13 enzyme and thus are useful for treating diseases resulting from tissue breakdown, such as heart disease, multiple sclerosis, arthritis, atherosclerosis, and osteoporosis.

IT **448964-69-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation and pharmaceutical activity of substituted isophthalic acid derivs., multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors)

RN 448964-69-0 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:87181 CAPLUS

DOCUMENT NUMBER: 134:311176

TITLE: Design, synthesis and binding properties of novel and selective 5-HT₃ and 5-HT₄ receptor ligands

AUTHOR(S): Modica, Maria; Santagati, Maria; Guccione, Salvatore; Russo, Filippo; Cagnotto, Alfredo; Goegan, Mara; Mennini, Tiziana

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Catania, Catania, 95125, Italy

SOURCE: European Journal of Medicinal Chemistry (2000), 35(12), 1065-1079

CODEN: EJMCA5; ISSN: 0223-5234

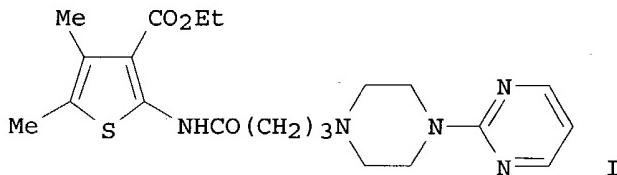
PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:311176

GI



AB The synthesis and the binding tests on the 5-HT₃ and 5-HT₄ receptors of new thienopyrimidopiperazine and piperazinylacylaminodimethylthiophene derivs., in order to identify potent and selective ligands for each receptor, is reported. The compound with higher affinity and selectivity for the 5-HT₃ over the 5-HT₄ receptor was the 3-amino-2-(4-benzyl-1-piperazinyl)-5,6-dimethyl-thieno[2,3-d]pyrimidin-4(3H)-one (5-HT₃ Ki = 3.92 nM, 5-HT₄ not active), the compound with higher affinity and selectivity for the 5-HT₄ over the 5-HT₃ receptor was 2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butanoylamino]-4,5-dimethyl-3-thiophenecarboxylic acid Et ester (I) (5-HT₄ Ki = 81.3 nM, 5-HT₃ not active). Conformational analyses were carried out on the compds. of the piperazinylacylaminodimethylthiophene series taking I as the template.

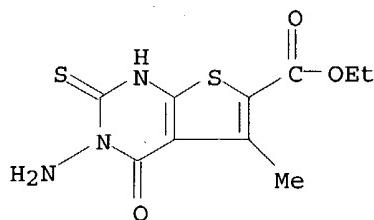
IT 295312-42-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and binding properties of novel and selective 5-HT₃ and 5-HT₄ receptor ligands)

RN 295312-42-4 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-amino-1,2,3,4-tetrahydro-5-methyl-4-oxo-2-thioxo-, ethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



● K

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:627337 CAPLUS

DOCUMENT NUMBER: 133:350192

TITLE: High affinity and selectivity of

[[(arylpiperazinyl)alkyl]thieno[2,3-d]pyrimidinone derivatives for the 5-HT1A receptor.

Synthesis and structure-affinity relationships

Modica, Maria; Santagati, Maria; Russo, Filippo; Selvaggini, Carlo; Cagnotto, Alfredo; Mennini, Tiziana

Dipartimento di Scienze Farmaceutiche, Universita di Catania, Catania, 95125, Italy

SOURCE: European Journal of Medicinal Chemistry (2000), 35(7 & 8), 677-689

CODEN: EJMCA5; ISSN: 0223-5234

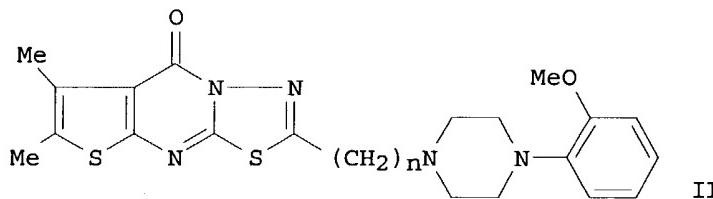
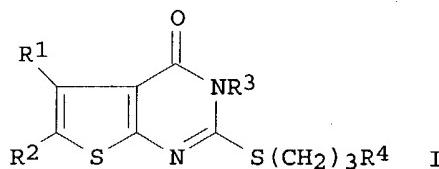
Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:350192

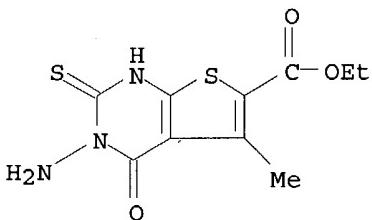
GI



AB New thienopyrimidinones were prepared and their affinity for 5-HT1ARs and the selectivity vs. α 1ARs is reported. The thieno[2,3-d]pyrimidin-4(3H)-one I [R1 = H, R2 = Et, R3 = NH3, R4 = 4-(2-methoxyphenyl)piperazino] is the most potent and selective (Ki 0.19 nM,

selectivity 115). I [R1 = R2 = Me, R3 = NH₂, R4 = 4-(2-nitrophenyl)piperazino] also shows a good affinity and selectivity (Ki 1.46 nM, selectivity 84). The activities of I [R1 = R2 = Me, R3 = Et, allyl, NHAc, R4 = 4-(2-methoxyphenyl)piperazino] (Ki 3.28, 12.59 and 4.38 nM; selectivity 24, 4 and 5, resp.), indicate the importance of this last group for the interaction with 5-HT_{1AR}. Comparison of the results for the superior homolog II [n = 3] (Ki 3.72 nM, selectivity 51) and the inferior homolog II [n = 1] (5-HT_{1A} Ki 1 499 nM, α 1A Ki NA) of II [n = 2] (Ki 23 nM, selectivity 5) shows how important the length of the chain binding the two heterocyclic systems is in the interaction with 5-HT_{1ARs} and α 1ARs.

IT 295312-42-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation. of [[(arylpiperazinyl)alkyl]thio]thieno[2,3-d]pyrimidinones with selective affinity for the HT1A receptor)
 RN 295312-42-4 CAPLUS
 CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-amino-1,2,3,4-tetrahydro-5-methyl-4-oxo-2-thioxo-, ethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



● K

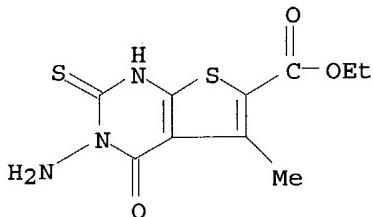
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:530499 CAPLUS
 DOCUMENT NUMBER: 133:252354
 TITLE: Synthesis of new [1,3,4]thiadiazolo[3,2-a]thieno[2,3-d]pyrimidinone derivatives with antiinflammatory activity
 AUTHOR(S): Modica, M.; Santagati, M.; Santagati, A.; Cutuli, V.; Mangano, N.; Caruso, A.
 CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Facolta di Farmacia, Universita di Catania, Italy
 SOURCE: Pharmazie (2000), 55(7), 500-502
 CODEN: PHARAT; ISSN: 0031-7144
 PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:252354
 AB New thiadiazolothienopyrimidinones were synthesized in continuation of efforts to prepare thienopyrimidine derivs. with analgesic and antiinflammatory activities. The effect of various substituents in the thiophene ring on the pharmacol. activity of the compds. was studied.

IT 295312-42-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant for preparation of thiadiazolothienopyrimidinones as analgesics and anti-inflammatory agents)

10/ 075,073

RN 295312-42-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-amino-1,2,3,4-tetrahydro-5-methyl-4-oxo-2-thioxo-, ethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



● K

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:122853 CAPLUS

DOCUMENT NUMBER: 128:238986

TITLE: Synthesis of 6-thiosubstituted 5-ethoxycarbonyl-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, 6-substituted 5-hydroxy-1,3-diphenyl-2,3-dihydrothieno[2,3-d]pyrimidin-4(1H)-ones and their esters with local anesthetic, antiarrhythmic, antiinflammatory and analgesic activities

AUTHOR(S): Ranise, Angelo; Bruno, Olga; Schenone, Silvia; Bondavalli, Francesco; Falcone, Giuseppe; Filippelli, Walter; Sorrentino, Salvatore

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche dell'Universita, Genoa, I-16132, Italy

SOURCE: Farmaco (1997), 52(8-9), 547-555
CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Societa Chimica Italiana

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis of 6-thiosubstituted 5-ethoxycarbonyl-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, and of 6-substituted 5-hydroxy-1,3-diphenyl-2,3-dihydrothieno[2,3-d]pyrimidin-4(1H)-ones and their esters is described. These derivs. were prepared to evaluate the influence on the pharmacol. profile of alkyl substituents bearing polar/hydrophilic functionalities at an enethiol substructure or to assess the effects arising from the incorporation of the sulfur atom in a thiophene moiety as in thienopyrimidinones in comparison with a series of 5-substituted 6-acylthio-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, previously described. Preliminary screenings suggest that all tested compds. maintained or even increased the local anesthetic activity, but failed in the platelet anti-aggregating activity; antiarrhythmic and antiinflammatory activity was preserved in some esters.

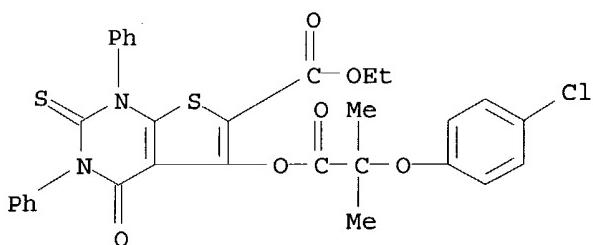
IT 205128-31-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

RN 205128-31-0 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 5-[2-(4-chlorophenoxy)-2-methyl-1-oxopropoxy]-1,2,3,4-tetrahydro-4-oxo-1,3-diphenyl-2-thioxo-, ethyl ester

(9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:370230 CAPLUS

DOCUMENT NUMBER: 125:142666

TITLE: Novel synthesis of thieno[2,3-c]pyrazoles and thieno[2,3-d]pyrimidines

AUTHOR(S): Ahluwalia, Vinod K.; Dahiya, Aruna; Bala, Madhu

CORPORATE SOURCE: Department Chemistry, University Delhi, Delhi, 110 007, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(7), 715-717

CODEN: IJSBDB; ISSN: 0376-4699

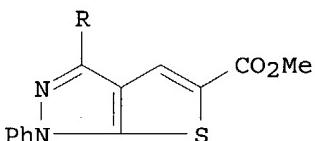
PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

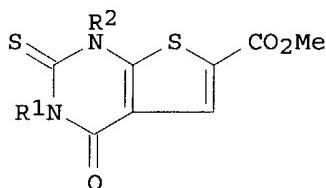
LANGUAGE: English

OTHER SOURCE(S): CASREACT 125:142666

GI



I



II

AB 5-Chloro-4-formyl-3-substituted-1-phenyl-1H-pyrazoles and 1,3-diaryl-6-chloro-5-formyl-1,3-dihydro-4-oxo-2-thioxopyrimidines on condensation with Me thioglycolate furnish thieno[2,3-c]pyrazolecarboxylates I (R = Me, Ph, Pr) and thieno[2,3-d]pyrimidinecarboxylates II [R1 = (un)substituted phenyl] in excellent yields.

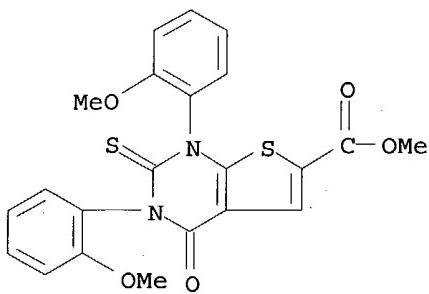
IT 179925-73-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of thienopyrazoles and thienopyrimidines)

RN 179925-73-6 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1,3-bis(2-methoxyphenyl)-4-oxo-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:514925 CAPLUS

DOCUMENT NUMBER: 113:114925

TITLE: Pyrimidines. 65. Synthesis of 6-substituted
thieno[2,3-d]pyrimidine-2,4(1H,3H)-dionesAUTHOR(S): Hirota, Kosaku; Shirahashi, Mitsuomi; Senda, Shigeo;
Yogo, Motoi

CORPORATE SOURCE: Gifu Pharm. Univ., Gifu, 502, Japan

SOURCE: Journal of Heterocyclic Chemistry (1990), 27(3),
717-21

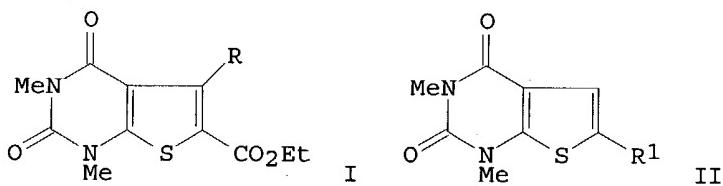
DOCUMENT TYPE: CODEN: JHTCAD; ISSN: 0022-152X

LANGUAGE: Journal

OTHER SOURCE(S): English

CASREACT 113:114925

GI



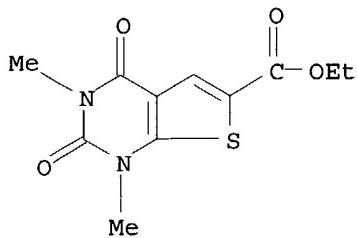
AB Thieno[2,3-d]pyrimidine-2,4-(1H,3H)-dione derivs. were synthesized. 6-Ethoxycarbonyl derivs. I ($R = H, NH_2$) were prepared by treatment of 6-chloro-5-formyluracil and 6-chloro-5-cyanouracil with Et 2-mercaptoacetate in the presence of a base. Electrophilic substitution reactions (Vilsmeier-Haack reaction, bromination, and nitration) of thieno[2,3-d]pyrimidine II ($R_1 = H$), prepared by condensation of 6-mercaptopuracil with chloroacetaldehyde, afforded 6-formyl-, 6-bromo-, and 6-nitrothieno[2,3-d]pyrimidines II ($R_1 = CHO, Br, NO_2$), resp.

IT 129177-35-1P

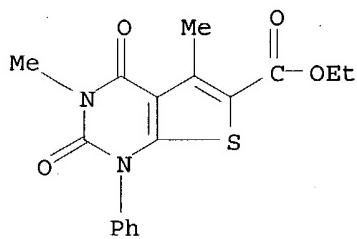
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)

RN 129177-35-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



✓ L11 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1974:463420 CAPLUS
 DOCUMENT NUMBER: 81:63420
 TITLE: Synthesis of thiophenes. III. Further variations in the substitution pattern
 AUTHOR(S): Rajappa, S.; Advani, B. G.
 CORPORATE SOURCE: Ciba Res. Cent., Bombay, India
 SOURCE: Indian Journal of Chemistry (1974), 12(1), 1-3
 CODEN: IJOCAP; ISSN: 0019-5103
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The thiophene synthesis from enamines and iso-thiocyanates followed by α -haloketones was further extended. The scope of the reaction was explored in regard to variations in the enamine and active methylene components. Thus, $\text{MeCH}_2\text{-C}(\text{NH}_2)\text{CO}_2\text{Et}$ was treated with 2,3-Me₂C₆H₃NCS followed by $\text{MeCOCHClCo}_2\text{Et}$ to give the thiophene I.
 IT 53002-53-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 53002-53-2 CAPLUS
 CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-3,5-dimethyl-2,4-dioxo-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1973:22518 CAPLUS
 DOCUMENT NUMBER: 78:22518
 TITLE: Photographic images by diffusion of silver salt
 PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.
 SOURCE: Fr., 10 pp.
 CODEN: FRXXAK
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 2077060	A5	19711015	FR 1971-2718	19710127
DE 2003414	A	19710812	DE 1970-2003414	19700127

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB Formation of a sludge in the alkaline transfer bath is reduced by addition of a pyrimidinethiol (I; X = O, S; Z = an annellated carbocyclic or heterocyclic ring, e.g. II). Thus, 1-(m-carboxyphenyl)-4-cyano-5-aminopyrazole 65 and K xanthate 100 g in BuOH 650 ml are refluxed for 3 hr, the precipitate collected, taken up in 1 l. H₂O and AcOH added to precipitate II

52

g. A Ag(Cl, Br) emulsion layer containing 0.9 g/m² Ag and 0.7 hydroquinone and 0.3 g Phenidone/g of Ag is prepared and contacted with a receiving layer containing Ag₂S and Na₂S₂O₃ in a bath containing Na₃PO₄.12H₂O 70, Na₂SO₃ 40, KBr 1 g, II 250 mg, and H₂O to 1 l. The color and volume of deposit in the alkaline transfer bath after processing was yellowish-white, 20-30 ml vs. yellowish-white, 70-80 ml for a control bath containing 2,4-dimercapto-6-methylpyridine 85 mg.

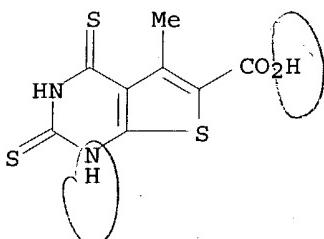
IT 33986-74-2

RL: USES (Uses)

(photographic processing solns. containing, for diffusion-transfer images)

RN 33986-74-2 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4,5,6,7-tetrahydro-3-methyl-4,6-dithioxo- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:475185 CAPLUS

DOCUMENT NUMBER: 77:75185

TITLE: Simple synthesis of 2,4-dithioxotetrahydro-pyrimidines from o-amino nitriles and xanthogenates

AUTHOR(S): Kabbe, Hans Joachim

CORPORATE SOURCE: Chem.-Wiss. Lab. Pharma, Farbenfabr. Bayer A.-G., Wuppertal-Elberfeld, Fed. Rep. Ger.

SOURCE: Synthesis (1972), (5), 268-9
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: German

GI For diagram(s), see printed CA Issue.

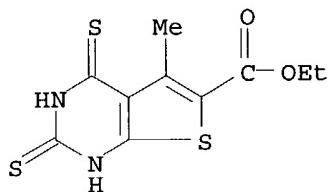
AB Seven title pyrimidines (I) (ring A represents substituted benzene, pyrimidine, tetrahydrobenzothiophene, tetrahydropyridothio-phen, thiophene, or pyrazole moieties) were prepared by condensation of o-amino nitriles with EtOC(S)SK (II). Thus, 2,5-H₂N(O₂N)C₆H₃CN and II in refluxing BuOH gave 2,4-dithioxo-6-nitro-1,2,3,4-tetrahydroquinazoline (III).

IT 37471-09-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37471-09-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-5-methyl-2,4-dithioxo-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:546231 CAPLUS

DOCUMENT NUMBER: 75:146231

TITLE: Stabilizer for photographic silver halide emulsions
INVENTOR(S): Von Koenig, Anita; Kabbe, Hans J.; Maeder, Helmut;
Otto, Rigobert; Reuss, Helmut

PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.

SOURCE: Ger. Offen., 16 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1962605	A	19710715	DE 1969-1962605	19691213
DE 1962605	C2	19830908		
CA 952758	A1	19740813	CA 1970-99535	19701201
US 3692527	A	19720919	US 1970-95401	19701204
GB 1308166	A	19730228	GB 1970-57632	19701204
CH 557551	A	19741231	CH 1970-18197	19701210
FR 2073677	A5	19711001	FR 1970-44845	19701211
JP 49044896	B4	19741130	JP 1970-110124	19701212
PRIORITY APPLN. INFO.:			DE 1969-1962605	19691213

GI For diagram(s), see printed CA Issue.

AB Ag halide emulsions are stabilized against fogging during storage and development by inclusion of 2-mercapto-4-oxo-3,4-dihydroquinoxaline derivs.

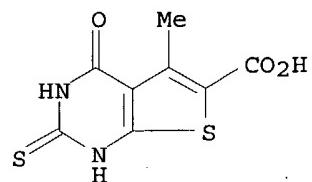
I, where R is H, C1-5 saturated or unsatd. aliphatic group, or aryl; Z represents a group completing a substituted or unsubstituted 5- or 6-membered heterocycle nucleus with halogen, hydroxy, alkoxy, phenylalkyl, or alkenyl substituents. Thus, 2-mercapto-4-oxo-3,4-dihydroquinoxaline-6-carboxylic acid (II) is added (5 ml/0.25 kg) to a color AgBr emulsion containing 4-hydroxy-6-methyl-1,3,3a,7-tetraazaindene, coated on a cellulose tracetate support, exposed in a sensitometer, and developed to give a fog value of 0.15 vs. 0.20 for a II-free control.

IT 34330-04-6

RL: USES (Uses)
(photographic emulsion fog inhibitor)

RN 34330-04-6 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3,4-dihydro-2-mercapto-5-methyl-4-oxo- (8CI) (CA INDEX NAME)



L11 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1971:525024 CAPLUS
 DOCUMENT NUMBER: 75:125024
 TITLE: Additives for prevention of silver sludge formation in photographic baths
 INVENTOR(S): Liebe, Werner; Kabbe, Hans J.; Von Koenig, Anita
 PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.
 SOURCE: Ger. Offen., 12 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2003414	A	19710812	DE 1970-2003414	19700127
GB 1296161	A	19721115	GB 1971-1296161	19710104
BE 761606	A2	19710715	BE 1971-2799	19710115
FR 2077060	A5	19711015	FR 1971-2718	19710127
			DE 1970-2003414	A 19700127

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB The formation of black Ag sludge especially in developer free baths in the Ag diffusion process was practically prevented by the addition of 140-250 mg 1-[m-carboxyphenyl]-4,6-dimercapto-1H-pyrazolo[3,4-d] pyrimidine (I), its p-carboxyphenyl isomer, 2,4-dimercapto-5-methylthieno[2,3-d]pyrimidine-6-carboxylic acid, or 2-mercaptop-4-hydroxy-6-quinazolinecarboxylic acid to give .apprx.20-60 ml of yellowish white or light grey Ag sludge after 2-3 hr of settling time. Thus, 1-(m-carboxyphenyl)-4-cyano-5-aminopyrazole and K xanthogenate in BUOH were refluxed for 3 hr with stirring. The precipitate was filtered cold and dissolved in 1 l. of H₂O, and the solution acidified with HOAC to give 60% I. A typical film containing developer was exposed and developed in a bath containing 70 g of Na₃PO₄.12H₂O, 40 g of Na₂SO₃, and 1 g of KBr in 1 l. of H₂O with addnl. 250 mg of I to give .apprx.20-30 ml of yellowish white Ag sludge after 2-3 hr of settling time as compared with samples without additives that gave .apprx.60 ml of black sludge.

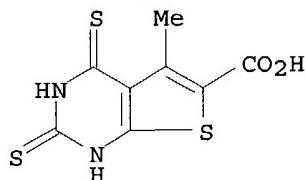
IT 33986-74-2

RL: USES (Uses)

(photographic diffusion-transfer processing solns., containing, for prevention of black silver sludge formation)

RN 33986-74-2 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4,5,6,7-tetrahydro-3-methyl-4,6-dithioxo- (9CI) (CA INDEX NAME)



PNU 10/2004
C&K

10 / 075,073

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1935295	A	19710114	DE 1969-1935295	19690711
CH 537391	A	19730713	CH 1970-9196	19700617
BE 753224	A	19710111	BE 1970-753224	19700709
NL 7010174	A	19710113	NL 1970-10174	19700709
GB 1263034	A	19720209	GB 1970-1263034	19700709
FR 2055010	A5	19710507	FR 1970-25703	19700710
			DE 1969-1935295	19690711

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

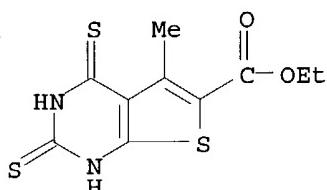
AB The title compds. (I), useful as photog. adjuvants, were prepared by heating 0-amino nitriles with alkali metal xanthogenates at 80-120° and treatment of the salts obtained with HOAc. Thus, 2,5-H2N-(O2N)C6H3CN and K xanthogenate in BuOH were refluxed 5 hr at 112° and the precipitate was treated with HOAc to give 80% I [(RR1 =) CH:C(NO2)CH:CH]. Among .apprx.10 compds. similarly prepared were I [(RR1 =) and % yield given]: CH:CHCCl1:CH, 60; CH:NNMe, 78; CMe:CMeO, 75.

IT 37471-09-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37471-09-3 CAPPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-5-methyl-2,4-dithioxo-, ethyl ester (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 11:02:17 ON 06 DEC 2004)

FILE 'REGISTRY' ENTERED AT 11:02:26 ON 06 DEC 2004

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 STRUCTURE UPLOADED
L5 750 S L1 FUL
L6 0 S L2 FUL
L7 0 S L3 FUL
L8 13 S L4 FUL

FILE 'CAPPLUS' ENTERED AT 11:04:35 ON 06 DEC 2004

L9 22 S L5
L10 5 S L8
L11 18 S L5 NOT L8

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